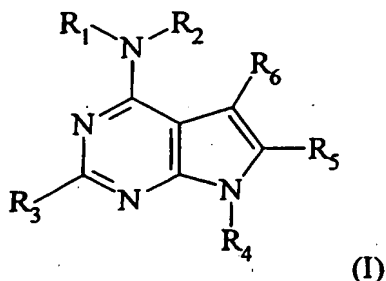


What is claimed is:

1. A method for treating a N-6 substituted 7-deazapurine responsive state in a mammal, comprising administering to a mammal a therapeutically effective amount of a
5 N-6 substituted 7-deazapurine, such that treatment of a N-6 substituted 7-deazapurine responsive state in the mammal occurs.
2. The method of claim 1, wherein said N-6 substituted 7-deazapurine responsive state is a disease state, wherein the disease state is a disorder mediated by adenosine.
10
3. The method of claim 1, wherein said N-6 substituted 7 deazapurine is not N-6 benzyl or N-6 phenylethyl substituted.
4. The method of claim 2, wherein said disease state is a central nervous system
15 disorder, a cardiovascular disorder, a renal disorder, an inflammatory disorder, an allergic disorder, a gastrointestinal disorder, an eye disorder or a respiratory disorder.

5. The method of claim 1, wherein said N-6 substituted 7-deazapurine has the formula I:



5

wherein

R₁ and R₂ are each independently a hydrogen atom or a substituted or unsubstituted alkyl, aryl, or alkylaryl moiety or together form a substituted or unsubstituted heterocyclic ring;

10

R₃ is a hydrogen atom or a substituted or unsubstituted alkyl, aryl, or alkylaryl moiety;

R₄ is a hydrogen atom or a substituted or unsubstituted alkyl, aryl, or alkylaryl moiety;

15

R₅ and R₆ are each independently a halogen atom, a hydrogen atom or a substituted or unsubstituted alkyl, aryl, or alkylaryl moiety or R₄ and R₅ or R₅ and R₆ together form a substituted or unsubstituted heterocyclic or carbocyclic ring.

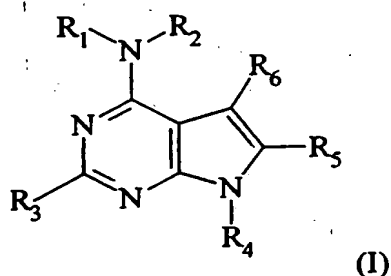
20

6. A method for modulating an adenosine receptor in a mammal, comprising administering to a mammal a therapeutically effective amount of a N-6 substituted 7-deazapurine, such that modulation of an adenosine receptor in the mammal occurs.

7. The method of claim 6, wherein said adenosine receptor is A₁, A₂, A_{2a}, A_{2b}, or A₃.

8. The method of claim 6, wherein said adenosine receptor is associated with a
5 central nervous system disorder, a cardiovascular disorder, a renal disorder, an inflammatory disorder, a gastrointestinal disorder, an eye disorder, an allergic disorder or a respiratory disorder.

9. The method of claim 6, wherein said N-6 substituted 7-deazapurine has the
10 formula I:



wherein

15 R₁ and R₂ are each independently a hydrogen atom or a substituted or unsubstituted alkyl, aryl, or alkylaryl moiety or together form a substituted or unsubstituted heterocyclic ring;

R₃ is a hydrogen atom or a substituted or unsubstituted alkyl, aryl, or alkylaryl moiety;

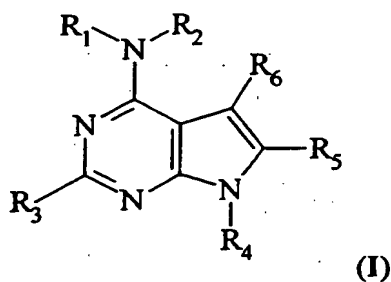
20 R₄ is a hydrogen atom or a substituted or unsubstituted alkyl, aryl, or alkylaryl moiety; and

R₅ and R₆ are each independently a halogen atom, a hydrogen atom or a substituted or unsubstituted alkyl, aryl, or alkylaryl moiety or R₄ and R₅ or R₅ and R₆ together form a substituted or unsubstituted heterocyclic or carbocyclic ring.

25

10. A method for treating asthma in a mammal, comprising administering to a mammal a therapeutically effective amount of a N-6 substituted 7-deazapurine, such that treatment of asthma in the mammal occurs.

5 11. An N-6 substituted 7-deazapurine having the formula I:



wherein

10 R_1 and R_2 are each independently a hydrogen atom or a substituted or unsubstituted alkyl, aryl, or alkylaryl moiety or together form a substituted or unsubstituted heterocyclic ring, provided that both R_1 and R_2 are both not hydrogen atoms or that neither R_1 or R_2 is 1-phenylethyl;

R_3 is a hydrogen atom or a substituted or unsubstituted alkyl, aryl, or
15 alkylaryl moiety;

R_4 is a hydrogen atom or a substituted or unsubstituted alkyl, aryl, or alkylaryl moiety; and

R_5 and R_6 are each independently a halogen atom, a hydrogen atom or a substituted or unsubstituted alkyl, aryl, or alkylaryl moiety or R_4 and R_5 or R_5 and R_6
20 together form a substituted or unsubstituted heterocyclic or carbocyclic ring, provided R_4 is not 1-phenylethyl, and pharmaceutically acceptable salts thereof.

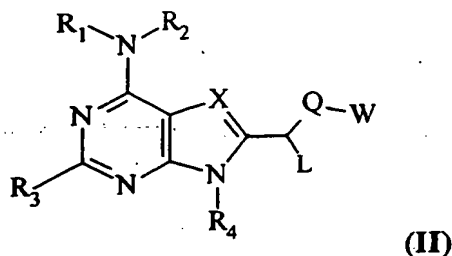
12. A deazapurine of claim 11, wherein:
R₁ is hydrogen;
R₂ is substituted or unsubstituted cycloalkyl, substituted or unsubstituted alkyl,
or R₁ and R₂ together form a substituted or unsubstituted heterocyclic ring;
5 R₃ is unsubstituted or substituted aryl;
R₄ is hydrogen; and
R₅ and R₆ are each independently hydrogen or alkyl,
and pharmaceutically acceptable salts thereof.
- 10 13. The deazapurine of claim 12, wherein R₂ is substituted or unsubstituted cycloalkyl.
14. The deazapurine of claim 13, wherein R₁ and R₄ are hydrogen, R₃ is unsubstituted or substituted phenyl, and R₅ and R₆ are each alkyl.
- 15 15. The deazapurine of claim 14, wherein R₂ is substituted with at least one hydroxy group.
16. The deazapurine of claim 15, wherein R₂ is mono-hydroxycyclopentyl.
- 20 17. The deazapurine of claim 15, wherein R₂ is mono-hydroxycyclohexyl.
18. The deazapurine of claim 14, wherein R₂ is substituted with -NH-C(=O)E,
wherein E is substituted or unsubstituted C₁-C₄ alkyl.
- 25 19. The deazapurine of claim 18, wherein E is alkylamine.
20. The deazapurine of claim 19, wherein E is ethylamine.

21. The deazapurine of claim 12, wherein R_1 and R_2 together form a substituted or unsubstituted heterocyclic ring.
22. The deazapurine of claim 21, wherein said heterocyclic ring is substituted with an amine.
23. The deazapurine of claim 21, wherein said heterocyclic ring is substituted with acetamido.
24. The deazapurine of claim 12, wherein R_2 is $-A-NHC(=O)B$, wherein A is unsubstituted C_1-C_4 alkyl, and B is substituted or unsubstituted C_1-C_4 alkyl.
25. The deazapurine of claim 24, wherein R_1 and R_4 are hydrogen, R_3 is unsubstituted or substituted phenyl, and R_5 and R_6 are each alkyl.
26. The deazapurine of claim 25, wherein A is CH_2CH_2 .
27. The deazapurine of claim 25, wherein A is $CH_2CH_2CH_2$.
28. The deazapurine of claim 25, wherein A is $CH_2CH_2CH_2CH_2$.
29. The deazapurine of claim 25, wherein B is methyl.
30. The deazapurine of claim 25, wherein B is aminoalkyl.
31. The deazapurine of claim 30, wherein B is aminomethyl.
32. The deazapurine of claim 30, wherein B is aminoethyl.

33. The deazapurine of claim 25, wherein B is alkylamino.
34. The deazapurine of claim 33, wherein B is methylamino.
- 5 35. The deazapurine of claim 33, wherein B is ethylamino.
36. The deazapurine of claim 25, wherein B is substituted or unsubstituted cycloalkyl.
- 10 37. The deazapurine of claim 36, wherein B is cyclopropyl.
38. The deazapurine of claim 36, wherein B is 1-amino-cyclopropyl.
39. The deazapurine of claim 12, wherein R₃ is substituted or unsubstituted phenyl.
- 15 40. The deazapurine of claim 39, wherein R₅ and R₆ are each alkyl.
41. The deazapurine of claim 40, wherein R₃ is unsubstituted phenyl.
- 20 42. The deazapurine of claim 40, wherein R₃ is substituted phenyl.
43. The deazapurine of claim 42, wherein R₃ is phenyl with at least one substituent.
44. The deazapurine of claim 43, wherein R₃ is *o*-, *m*- or *p*- chlorophenyl.
- 25 45. The deazapurine of claim 43, wherein R₃ is an *o*-, *m*- or *p*- fluorophenyl.
46. The deazapurine of claim 12, wherein R₃ is substituted or unsubstituted heteroaryl.

47. The deazapurine of claim 46, wherein R₅ and R₆ are each alkyl.
48. The deazapurine of claim 47, wherein R₃ is selected from the group consisting of pyridyl, pyrimidyl, pyridazinyl, pyrazinyl, pyrrolyl, triazolyl, thiazolyl, oxazolyl, oxadiazolyl, furanyl, methylenedioxyphenyl and thiophenyl.
49. The deazapurine of claim 48, wherein R₃ is 2-pyridyl, 3-pyridyl, or 4-pyridyl.
50. The deazapurine of claim 48, wherein R₃ is 2-pyrimidyl or 3-pyrimidyl.
51. The deazapurine of claim 12, wherein R₅ and R₆ are each hydrogen.
52. The deazapurine of claim 12, wherein R₅ and R₆ are each methyl.
53. The deazapurine of claim 12, wherein said compound is 4-(*cis*-3-hydroxycyclopentyl)amino-5,6-dimethyl-2-phenyl-7*H*-pyrrolo[2,3*d*] pyrimidine.
54. The deazapurine of claim 12, wherein said compound is 4-(*cis*-3-(2-aminoacetoxy)cyclopentyl)amino-5,6-dimethyl-2-phenyl-7*H*-pyrrolo[2,3*d*] pyrimidine trifluoroacetic acid salt.
55. The deazapurine of claim 12, wherein said compound is 4-(3-acetamido)piperidinyl-5,6-dimethyl-2-phenyl-7*H*-pyrrolo[2,3*d*]pyrimidine.
56. The deazapurine of claim 12, wherein said compound is 4-(2-*N'*-methylureapropyl)amino-5,6-dimethyl-2-phenyl-7*H*-pyrrolo[2,3*d*]pyrimidine.
57. The compound of claim 12, wherein said compound is 4-(2-acetamidobutyl)amino-5,6-dimethyl-2-phenyl-7*H*-pyrrolo[2,3*d*]pyrimidine.

63. A deazapurine having the formula II:



5 wherein

X is N or CR₆;

R₁ and R₂ are each independently hydrogen, or substituted or unsubstituted alkoxy, aminoalkyl, alkyl, aryl, or alkylaryl, or together form a substituted or unsubstituted heterocyclic ring, provided that both R₁ and R₂ are both not hydrogen;

10 R₃ is substituted or unsubstituted alkyl, arylalkyl, or aryl;

R₄ is hydrogen or substituted or unsubstituted C₁-C₆ alkyl;

L is hydrogen, substituted or unsubstituted alkyl, or R₄ and L together form a substituted or unsubstituted heterocyclic or carbocyclic ring;

R₆ is hydrogen, substituted or unsubstituted alkyl, or halogen;

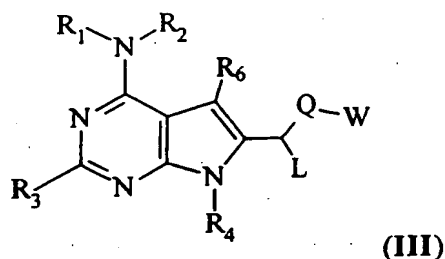
15 Q is CH₂, O, S, or NR₇, wherein R₇ is hydrogen or substituted or unsubstituted C₁-C₆ alkyl; and

W is unsubstituted or substituted alkyl, cycloalkyl, alkynyl, aryl, arylalkyl, biaryl, heteroaryl, substituted carbonyl, substituted thiocarbonyl, or substituted sulfonyl;

20 provided that if R₃ is pyrrolidino, then R₄ is not methyl.

58. The deazapurine of claim 13, wherein said compound is 4-(2-N'-methylureabutyl)amino-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine.
59. The deazapurine of claim 12, wherein said compound is 4-(2-aminocyclopropylacetamidoethyl)amino-2-phenyl-7H-pyrrolo[2,3d]pyrimidine.
60. The deazapurine of claim 12, wherein said deazapurine is 4-(trans-4-hydroxycyclohexyl)amino-2-(3-chlorophenyl)-7H-pyrrolo[2,3d]pyrimidine.
61. The deazapurine of claim 12, wherein said deazapurine is 4-(trans-4-hydroxycyclohexyl)amino-2-(3-fluorophenyl)-7H-pyrrolo[2,3d]pyrimidine.
62. The deazapurine of claim 12, wherein said deazapurine is 4-(trans-4-hydroxycyclohexyl)amino-2-(4-pyridyl)-7H-pyrrolo[2,3d]pyrimidine.

64. The deazapurine of claim 58 having the formula III:



wherein Q is CH₂, O, S, or NH.

5

65. The deazapurine of claim 64, wherein R₄ is hydrogen, L is hydrogen or methyl and R₃ is unsubstituted or substituted aryl.
66. The deazapurine of claim 65, wherein W is substituted or unsubstituted aryl, 5-
10 or 6- member heteroaryl, or biaryl.
67. The deazapurine of claim 66, wherein W is substituted with one or more substituents selected from the group consisting of halogen, hydroxy, alkoxy, amino, aminoalkyl, aminocarboxamide, CN, CF₃, CO₂R₈, CONHR₈, CONR₈R₉, SOR₈, SO₂R₈,
15 and SO₂NR₈R₉, wherein R₈ and R₉ are each independently hydrogen, or substituted or unsubstituted alkyl, cycloalkyl, aryl, or arylalkyl.
68. The deazapurine of claim 66, wherein W is methylenedioxyphenyl.
- 20 69. The deazapurine of claim 66, wherein W is substituted or unsubstituted phenyl.
70. The deazapurine of claim 66, wherein W is a substituted or unsubstituted 5-membered heteroaryl ring.

71. The deazapurine of claim 66, wherein W is selected from the group consisting of pyridyl, pyrimidyl, pyridazinyl, pyrazinyl, pyrrolyl, triazolyl, thioazolyl, oxazolyl, oxadiazolyl, pyrazolyl, furanyl, and thiophenyl

5 72. The deazapurine of claim 71, wherein Q is NH, and W is a 3-pyrazolo ring which is unsubstituted or N-substituted by substituted or unsubstituted alkyl, cycloalkyl, aryl, or arylalkyl.

73. The deazapurine of claim 71, wherein Q is oxygen, and W is a 2-thiazolo ring
10 which is unsubstituted or substituted by substituted or unsubstituted alkyl, cycloalkyl, aryl, or arylalkyl.

74. The deazapurine of claim 66, wherein W is a 6-member heteroaryl ring.

15 75. The deazapurine of claim 74, wherein W is selected from the group consisting of 2-pyridyl, 3-pyridyl, and 4-pyridyl.

76. The deazapurine of claim 74, wherein W is selected from the group consisting of 2-pyrimidyl, 4-pyrimidyl, and 5-pyrimidyl.

20

77. The deazapurine of claim 65, wherein W is substituted or unsubstituted alkyl, cycloalkyl, alkynyl or arylalkyl.

78. The deazapurine of claim 77, wherein W is alkynyl.

25

79. The deazapurine of claim 78, wherein W is substituted with one or more substituents selected from the group consisting of halogen, hydroxy, substituted or unsubstituted alkyl, cycloalkyl, aryl, or arylalkyl, or NHR_{10} wherein R_{10} is hydrogen, or substituted or unsubstituted alkyl, cycloalkyl, aryl, or arylalkyl.

30

80. The deazapurine of claim 77, wherein W is substituted or unsubstituted cyclopentyl.
81. The deazapurine of claim 65, wherein W is $-(CH_2)_a-C(=O)Y$ or $-(CH_2)_a-C(=S)Y$, wherein a is 0, 1, 2 or 3, Y is aryl, alkyl, arylalkyl, cycloalkyl, heteroaryl, $NHR_{11}R_{12}$, or, provided that Q is NH, OR_{13} , and wherein R_{11} , R_{12} and R_{13} are each independently hydrogen, or unsubstituted or substituted alkyl, aryl, arylalkyl, or cycloalkyl.
82. The deazapurine of claim 81 wherein a is 1.
83. The deazapurine of claim 81, wherein Y is a 5- or 6- member heteroaryl ring.
84. The deazapurine of claim 65, wherein W is $-(CH_2)_b-S(=O)_jY$, wherein j is 1 or 2, b is 0, 1, 2, or 3, Y is aryl, alkyl, arylalkyl, cycloalkyl, heteroaryl, $NHR_{14}R_{15}$, or, provided that Q is NH, OR_{16} , and wherein R_{14} , R_{15} , and R_{16} are each independently hydrogen, or unsubstituted or substituted alkyl, aryl, arylalkyl, or cycloalkyl.
85. The deazapurine of claim 64, wherein R_3 is selected from the group consisting of substituted and unsubstituted phenyl, pyridyl, pyrimidyl, pyridazinyl, pyrazinyl, pyrrolyl, triazolyl, thioazolyl, oxazolyl, oxadiazolyl, pyrazolyl, furanyl, methylenedioxyphenyl, and thiophenyl.
86. The deazapurine of claim 85, wherein R_3 is unsubstituted phenyl.
87. The deazapurine of claim 85, wherein R_3 is phenyl with at least one substituent.
88. The deazapurine of claim 87, wherein said substituent is selected from the group consisting of hydroxyl, alkoxy, alkyl, and halogen.

89. The deazapurine of claim 88, wherein said substituent is halogen.
90. The deazapurine of claim 89, wherein R_3 is *o*-, *m*-, or *p*- fluorophenyl.
- 5 91. The deazapurine of claim 89, wherein R_3 is *o*-, *m*-, or *p*- chlorophenyl.
92. The deazapurine of claim 88, wherein R_3 is alkyl substituted phenyl.
93. The deazapurine of claim 92, wherein R_3 is tolyl.
- 10 94. The deazapurine of claim 88, wherein R_3 is alkoxy substituted phenyl.
95. The deazapurine of claim 94, wherein R_3 is methoxy phenyl.
- 15 96. The deazapurine of claim 85, wherein R_3 is a 2-, 3-, or 4- pyridyl.
97. The deazapurine of claim 85, wherein R_3 is a 2- or 3- pyrimidyl.
98. The deazapurine of claim 64, wherein R_6 is hydrogen or C_1 - C_3 alkyl.
- 20 99. The deazapurine of claim 98, wherein R_6 is hydrogen.
100. The deazapurine of claim 64, wherein R_1 is hydrogen, and R_2 is substituted or unsubstituted alkyl or alkoxy, substituted or unsubstituted alkylamine, arylamine, or
25 alkylarylamine, substituted or unsubstituted aminoalkyl, amino aryl, or aminoalkylaryl, substituted or unsubstituted alkylamide, arylamide or alkylarylamide, substituted or unsubstituted alkylsulfonamide, arylsulfonamide or alkylarylsulfonamide, substituted or unsubstituted alkylurea, arylurea or alkylarylurea, substituted or unsubstituted
30 alkylcarbamate, arylcarbamate or alkylarylcarbamate, or substituted or unsubstituted alkylcarboxylic acid, arylcarboxylic acid or alkylarylcarboxylic acid.

101. The deazapurine of claim 100, wherein R_2 is substituted or unsubstituted cycloalkyl.

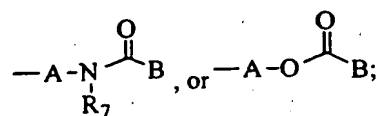
102. The deazapurine of claim 101, wherein R_2 is mono- or dihydroxy-substituted
5 cyclohexyl.

103. The deazapurine of claim 102, wherein R_2 is monohydroxy-substituted cyclohexyl.

10 104. The deazapurine of claim 101, wherein R_2 is mono- or dihydroxy-substituted cyclopentyl.

105. The deazapurine of claim 104, wherein R_2 is monohydroxy-substituted cyclopentyl.

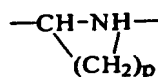
106. The deazapurine of claim 100, wherein R₂ is



wherein

A is C₁-C₆ alkyl, C₃-C₇ cycloalkyl, a chain of one to seven atoms, or a ring of three to seven atoms, optionally substituted with C₁-C₆ alkyl, halogens, hydroxyl, carboxyl, thiol, or amino groups;

B is methyl, N(Me)₂, N(Et)₂, NHMe, NHEt, (CH₂)_rNH₃⁺, NH(CH₂)_rCH₃, (CH₂)_rNH₂, (CH₂)_rCHCH₃NH₂, (CH₂)_rNHMe, (CH₂)_rOH, CH₂CN, (CH₂)_mCO₂H, CHR₁₈R₁₉, or CHMeOH, wherein r is an integer from 0 to 2, m is 1 or 2, R₁₈ is alkyl, R₁₉ is NH₃⁺ or CO₂H or R₁₈ and R₁₉ together are:



wherein p is 2 or 3; and

R₁₇ is C₁-C₆ alkyl, C₃-C₇ cycloalkyl, a chain of one to seven atoms, or a ring of three to seven atoms, optionally substituted with C₁-C₆ alkyl, halogens, hydroxyl, carboxyl, thiol, or amino groups.

107. The deazapurine of claim 106, wherein A is unsubstituted or substituted C₁-C₆ alkyl.

108. The deazapurine of claim 106, wherein B is unsubstituted or unsubstituted C₁-C₆ alkyl.

109. The deazapurine of claim 106, wherein R₂ is -A-NHC(=O)B.

110. The deazapurine of claim 109, wherein A is -CH₂CH₂- and B is methyl.

111. The deazapurine of claims 11, 12, 65 or 66, which comprises a water-soluble prodrug that is metabolized *in vivo* to an active drug.

112. The deazapurine of claim 111, wherein said prodrug is metabolized *in vivo* by
5 esterase catalyzed hydrolysis.

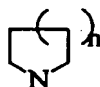
113. The deazapurine of claim 111, wherein R_2 is cycloalkyl substituted with $-OC(O)(Z)NH_2$, wherein Z is a side chain of a naturally or unnaturally occurring amino acid, or analog thereof, α , β , γ , or ω amino acids, or a dipeptide.

10

114. The deazapurine of claim 113, wherein Z is a side chain of glycine, alanine, valine, leucine, isoleucine, lysine, α -methylalanine, aminocyclopropane carboxylic acid, azetidine-2-carboxylic acid, β -alanine, γ -aminobutyric acid, alanine-alanine, or glycine-alanine.

15

115. The deazapurine of claim 64, wherein R_1 and R_2 together are:



wherein n is 1 or 2, and wherein the ring may be optionally substituted with one or more hydroxyl, amino, thiol, carboxyl, halogen, CH_2OH ,
20 $CH_2NHC(=O)alkyl$, or $CH_2NHC(=O)NHalkyl$ groups.

116. The deazapurine of claim 115, wherein n is 1 or 2 and said ring is substituted with $-NHC(=O)alkyl$.

25 117. The deazapurine of claim 64, wherein R_1 is hydrogen, R_2 is substituted or unsubstituted C_1-C_6 alkyl, R_3 is substituted or unsubstituted phenyl, R_4 is hydrogen, L is hydrogen or substituted or unsubstituted C_1-C_6 alkyl, Q is O, S or NR_7 , wherein R_7 is hydrogen or substituted or unsubstituted C_1-C_6 alkyl, and W is substituted or unsubstituted aryl.

118. The deazapurine of claim 117, wherein R_2 is $-A-NHC(=O)B$, wherein A and B are each independently unsubstituted C_1-C_4 alkyl.
- 5 119. The deazapurine of claim 118, wherein A is CH_2CH_2 .
120. The deazapurine of claim 118, wherein B is methyl.
121. The deazapurine of claim 118, wherein B is aminoalkyl.
- 10 122. The deazapurine of claim 121, wherein B is aminomethyl.
123. The deazapurine of claim 117, wherein R_3 is unsubstituted phenyl.
- 15 124. The deazapurine of claim 117, wherein L is hydrogen.
125. The deazapurine of claim 117, wherein R_6 is hydrogen or methyl.
126. The deazapurine of claim 125, wherein R_6 is hydrogen.
- 20 127. The deazapurine of claim 117, wherein Q is O.
128. The deazapurine of claim 117, wherein Q is S.
- 25 129. The deazapurine of claim 117, wherein Q is NR_7 wherein R_7 is hydrogen or substituted or unsubstituted C_1-C_6 alkyl.

130. The deazapurine of claim 129, wherein R₇ is hydrogen.
131. The deazapurine of claim 129, wherein R₇ is methyl.
- 5 132. The deazapurine of claim 117, wherein W is unsubstituted phenyl.
133. The deazapurine of claim 117, wherein W is phenyl with at least one substituent.
134. The deazapurine of claim 133, wherein said substituent is halogen.
- 10 135. The deazapurine of claim 134, wherein W is *p*-fluorophenyl.
136. The deazapurine of claim 134, wherein W is *p*-chlorophenyl.
- 15 137. The deazapurine of claim 133, wherein said substituent is alkoxy.
138. The deazapurine of claim 137, wherein W is *p*-methoxy.
139. The deazapurine of claim 117, wherein W is heteroaryl.
- 20 140. The deazapurine of claim 139, wherein W is 2-pyridyl.
141. The deazapurine of claim 117, wherein said deazapurine is 4-(2-acetylaminoethyl) amino-6-phenoxy-methyl-2-phenyl-7*H*-pyrrolo[2,3*d*]pyrimidine.
- 25 142. The deazapurine of claim 117, wherein said deazapurine is 4-(2-acetylaminoethyl) amino-6-(4-fluorophenoxy)methyl-2-phenyl-7*H*-pyrrolo[2,3*d*]pyrimidine.

143. The deazapurine of claim 117, wherein said deazapurine is 4-(2-acetylaminoethyl) amino-6-(4-chlorophenoxy)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine.
- 5 144. The deazapurine of claim 117, wherein said deazapurine is 4-(2-acetylaminoethyl) amino-6-(4-methoxyphenoxy)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine.
- 10 145. The deazapurine of claim 117, wherein said deazapurine is 4-(2-acetylaminoethyl) amino-6-(2-pyridyloxy)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine.
146. The deazapurine of claim 117, wherein said deazapurine is 4-(2-acetylaminoethyl) amino-6-(N-phenylamino)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine.
- 15 147. The deazapurine of claim 117, wherein said deazapurine is 4-(2-acetylaminoethyl) amino-6-(N-methyl-N-phenylamino)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine.
- 20 148. The deazapurine of claim 117, wherein said deazapurine is 4-(2-N'-methylureaethyl) amino-6-phenoxyethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine.
149. A method for inhibiting the activity of an adenosine receptor in a cell, which comprises contacting said cell with a deazapurine of claims 11, 12, 14, 25, 63 or 65.

150. The method of claim 149, wherein said deazapurine is selected from the group consisting of:
- 4-(2-acetylaminoethyl) amino-6-phenoxyethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 5 4-(2-acetylaminoethyl) amino-6-(4-fluorophenoxy)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 4-(2-acetylaminoethyl) amino-6-(4-chlorophenoxy)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 4-(2-acetylaminoethyl) amino-6-(4-methoxyphenoxy)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 10 4-(2-acetylaminoethyl) amino-6-(2-pyridyloxy)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 4-(2-acetylaminoethyl) amino-6-(N-phenylamino)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 15 4-(2-acetylaminoethyl) amino-6-(N-methyl-N-phenylamino)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 4-(2-N'-methylureaethyl) amino-6-phenoxyethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 4-(*cis*-3-hydroxycyclopentyl)amino-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine,
- 20 4-(*cis*-3-(2-aminoacetoxy)cyclopentyl)amino-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine trifluoroacetic acid salt,
- 4-(3-acetamido)piperidinyl-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine,
- 4-(2-N'-methylureapropyl)amino-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine,
- 25 4-(2-acetamidobutyl)amino-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine,
- 4-(2-N'-methylureabutyl)amino-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine,
- 4-(2-aminocyclopropylacetamidoethyl)amino-2-phenyl-7H-pyrrolo[2,3d]pyrimidine,
- 30

4-(*trans*-4-hydroxycyclohexyl)amino-2-(3-chlorophenyl)-7*H*-
pyrrolo[2,3*d*]pyrimidine,

4-(*trans*-4-hydroxycyclohexyl)amino-2-(3-fluorophenyl)-7*H*-
pyrrolo[2,3*d*]pyrimidine, and

5 4-(*trans*-4-hydroxycyclohexyl)amino-2-(4-pyridyl)-7*H*-pyrrolo[2,3*d*]pyrimidine.

151. The method of claim 149, wherein said adenosine receptor is an A_{2b} adenosine receptor.

10 152. The method of claim 151, wherein said deazapurine is an antagonist of said A_{2b} adenosine receptor.

153. The method of claim 149, wherein said adenosine receptor comprises an A₃ adenosine receptor.

15

154. The method of claim 153, wherein said N-6 substituted 7-deazapurine is an antagonist of said A₃ adenosine receptor.

155. A method for treating a gastrointestinal disorder in an animal which comprises
20 administering to said animal an effective amount of an deazapurine of claims 63 or 65.

156. The method of claim 155, wherein said deazapurine is selected from the group consisting of:
- 4-(2-acetylaminoethyl) amino-6-phenoxyethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 5 4-(2-acetylaminoethyl) amino-6-(4-fluorophenoxy)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 4-(2-acetylaminoethyl) amino-6-(4-chlorophenoxy)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 4-(2-acetylaminoethyl) amino-6-(4-methoxyphenoxy)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 10 4-(2-acetylaminoethyl) amino-6-(2-pyridyloxy)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 4-(2-acetylaminoethyl) amino-6-(N-phenylamino)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 15 4-(2-acetylaminoethyl) amino-6-(N-methyl-N-phenylamino)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine; and
- 4-(2-N'-methylureaethyl) amino-6-phenoxyethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine.
- 20 157. The method of claim 155, wherein said disorder is diarrhea.
158. The method of claim 155, wherein said animal is a human.
159. The method of claim 155, wherein said deazapurine is an antagonist of A_{2b} adenosine receptors in cells of said animal.
- 25 160. A method for treating a respiratory disorder in an animal which comprises administering to said animal an effective amount of a deazapurine of claims 63 or 64.

161. The method of claim 160, wherein said deazapurine is selected from the group consisting of:

4-(2-acetylaminoethyl) amino-6-phenoxyethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;

5 4-(2-acetylaminoethyl) amino-6-(4-fluorophenoxy)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;

4-(2-acetylaminoethyl) amino-6-(4-chlorophenoxy)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;

10 4-(2-acetylaminoethyl) amino-6-(4-methoxyphenoxy)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;

4-(2-acetylaminoethyl) amino-6-(2-pyridyloxy)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;

4-(2-acetylaminoethyl) amino-6-(N-phenylamino)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;

15 4-(2-acetylaminoethyl) amino-6-(N-methyl-N-phenylamino)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine; and

4-(2-N'-methylureaethyl) amino-6-phenoxyethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine.

20 162. The method of claim 160, wherein said disorder is asthma, chronic obstructive pulmonary disease, allergic rhinitis, or an upper respiratory disorder.

163. The method of claim 160, wherein said animal is a human.

25 164. The method of claim 160, wherein said deazapurine is an antagonist of A_{2b} adenosine receptors in cells of said animal.

165. A method for treating a N-6 substituted 7-deazapurine responsive state in an animal, comprising administering to a mammal a therapeutically effective amount of a
30 deazapurine of claim 11, 12, 14, 25, 63, or 64 such that treatment of a N-6 substituted 7-deazapurine responsive state in the animal occurs.

166. The method of claim 165, wherein said N-6 substituted 7-deazapurine responsive state is a disease state, wherein the disease state is a disorder mediated by adenosine.
- 5 167. The method of claim 166, wherein said disease state is a central nervous system disorder, a cardiovascular disorder, a renal disorder, an inflammatory disorder, an allergic disorder, a gastrointestinal disorder or a respiratory disorder.
168. A method for treating damage to the eye of an animal which comprises administering to said animal an effective amount of an N-6 substituted 7-deazapurine of
- 10 claims 11, 12, 14 or 25.
169. The method of claim 168, wherein said N-6 substituted 7-deazapurine is selected from the group consisting of:
- 4-(*cis*-3-hydroxycyclopentyl)amino-5,6-dimethyl-2-phenyl-7*H*-pyrrolo[2,3*d*]
- 15 pyrimidine,
- 4-(*cis*-3-(2-aminoacetoxy)cyclopentyl)amino-5,6-dimethyl-2-phenyl-7*H*-pyrrolo[2,3*d*] pyrimidinetrifluoroacetic acid salt,
- 4-(3-acetamido)piperidinyl-5,6-dimethyl-2-phenyl-7*H*-pyrrolo[2,3*d*]pyrimidine,
- 4-(2-N'-methylureapropyl)amino-5,6-dimethyl-2-phenyl-7*H*-
- 20 pyrrolo[2,3*d*]pyrimidine,
- 4-(2-acetamidobutyl)amino-5,6-dimethyl-2-phenyl-7*H*-pyrrolo[2,3*d*]pyrimidine,
- 4-(2-N'-methylureabutyl)amino-5,6-dimethyl-2-phenyl-7*H*-pyrrolo[2,3*d*]pyrimidine,
- 4-(2-aminocyclopropylacetamidoethyl)amino-2-phenyl-7*H*-
- 25 pyrrolo[2,3*d*]pyrimidine,
- 4-(*trans*-4-hydroxycyclohexyl)amino-2-(3-chlorophenyl)-7*H*-pyrrolo[2,3*d*]pyrimidine,
- 4-(*trans*-4-hydroxycyclohexyl)amino-2-(3-fluorophenyl)-7*H*-pyrrolo[2,3*d*]pyrimidine, and
- 30 4-(*trans*-4-hydroxycyclohexyl)amino-2-(4-pyridyl)-7*H*-pyrrolo[2,3*d*]pyrimidine.

170. The method of claim 168, wherein said damage comprises retinal or optic nerve head damage.

171. The method of claim 168, wherein said damage is acute or chronic.

5

172. The method of claim 168, wherein said damage is the result of glaucoma, edema, ischemia, hypoxia or trauma.

173. The method of claim 168, wherein said animal is a human.

10

174. The method of claim 168, wherein said N-6 substituted 7-deazapurine is an antagonist of A₃ adenosine receptors in cells of said animal.

175. A pharmaceutical composition comprising a therapeutically effective amount of
15 a deazapurine of claims 11, 12, 14, 25, 63 or 64 and a pharmaceutically acceptable carrier.

176. The pharmaceutical composition of claim 175, wherein said deazapurine is selected from the group consisting of:
- 4-(2-acetylaminoethyl) amino-6-phenoxyethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 5 4-(2-acetylaminoethyl) amino-6-(4-fluorophenoxy)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 4-(2-acetylaminoethyl) amino-6-(4-chlorophenoxy)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 4-(2-acetylaminoethyl) amino-6-(4-methoxyphenoxy)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 10 4-(2-acetylaminoethyl) amino-6-(2-pyridyloxy)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 4-(2-acetylaminoethyl) amino-6-(N-phenylamino)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 15 4-(2-acetylaminoethyl) amino-6-(N-methyl-N-phenylamino)methyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 4-(2-N'-methylureaethyl) amino-6-phenoxyethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine;
- 4-(*cis*-3-hydroxycyclopentyl)amino-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine,
- 20 4-(*cis*-3-(2-aminoacetoxy)cyclopentyl)amino-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine trifluoroacetic acid salt,
- 4-(3-acetamido)piperidinyl-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine,
- 4-(2-N'-methylureapropyl)amino-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine,
- 25 4-(2-acetamidobutyl)amino-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine,
- 4-(2-N'-methylureabutyl)amino-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3d]pyrimidine,
- 4-(2-aminocyclopropylacetamidoethyl)amino-2-phenyl-7H-pyrrolo[2,3d]pyrimidine,
- 30

4-(*trans*-4-hydroxycyclohexyl)amino-2-(3-chlorophenyl)-7*H*-
pyrrolo[2,3d]pyrimidine,

4-(*trans*-4-hydroxycyclohexyl)amino-2-(3-fluorophenyl)-7*H*-
pyrrolo[2,3d]pyrimidine, and

5 4-(*trans*-4-hydroxycyclohexyl)amino-2-(4-pyridyl)-7*H*-pyrrolo[2,3d]pyrimidine.

177. The pharmaceutical composition of claim 175, wherein said therapeutically effective amount is effective to treat a respiratory disorder or a gastrointestinal disorder.

10 178. The pharmaceutical composition of claim 177, wherein said gastrointestinal disorder is diarrhea.

179. The pharmaceutical composition of claim 177, wherein said respiratory disorder is asthma, allergic rhinitis, or chronic obstructive pulmonary disease.

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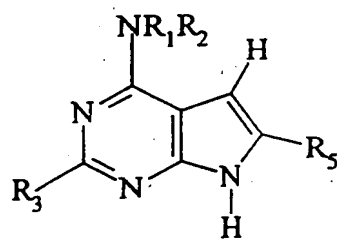
180. The pharmaceutical preparation of claim 175, wherein said pharmaceutical preparation is an ophthalmic formulation.

181. The pharmaceutical preparation of claim 180, wherein said pharmaceutical
20 preparation is an periocular, retrobulbar or intraocular injection formulation.

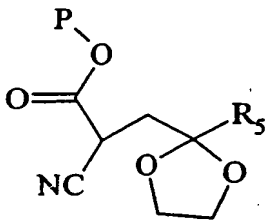
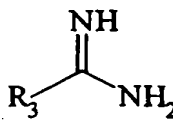
182. The pharmaceutical preparation of claim 180, wherein said pharmaceutical preparation is a systemic formulation.

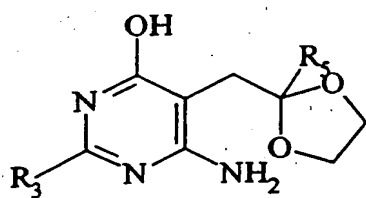
183. The pharmaceutical preparation of claim 180, wherein said pharmaceutical preparation is a surgical irrigating solution.

184. A packaged pharmaceutical composition for treating a N-6 substituted 7-
5 deazapurine responsive state in a mammal, comprising:
a container holding a therapeutically effective amount of at least one deazapurine
of claims 11, 12, 14, 25, 63 or 64; and
instructions for using said deazapurine for treating said N-6 substituted 7-deazapurine
responsive state in a mammal.



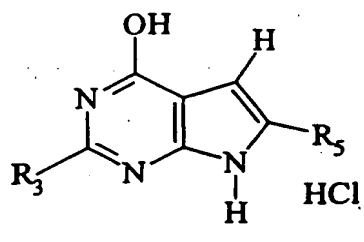
185. A method for the preparation of , comprising the steps of:

a) reacting  and  HCl to provide

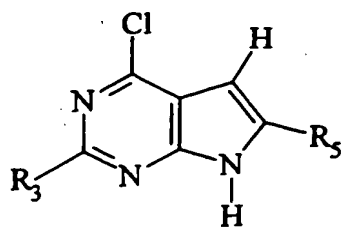


wherein, P is a lower alkyl or a protecting group;

b) cyclizing the product of step a) to provide

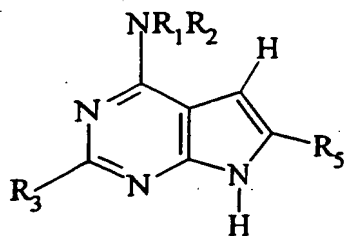


c) chlorinating the product of step b) to provide



; and

d) treating the product of step c) with an amine, thereby providing

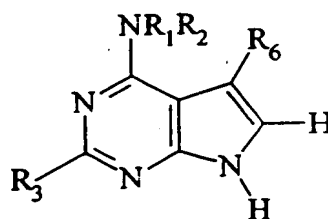


, wherein

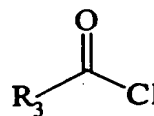
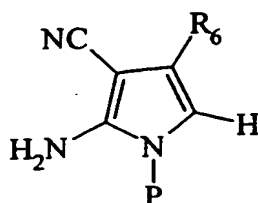
R_1 and R_2 are each independently a hydrogen atom or a substituted or unsubstituted alkyl, aryl, or alkylaryl moiety or together form a substituted or unsubstituted heterocyclic ring;

R_3 is a substituted or unsubstituted alkyl, aryl, or alkylaryl moiety; and

R_5 is a halogen atom, a hydrogen atom or a substituted or unsubstituted alkyl, aryl, or alkylaryl moiety.

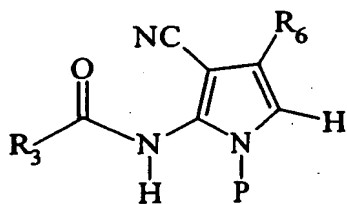


186. A method for the preparation of , comprising the steps of:



a) reacting

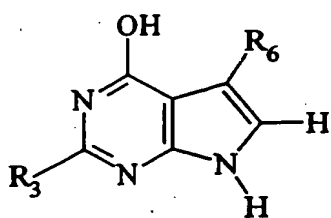
and to provide



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, wherein P is a removable protecting group;

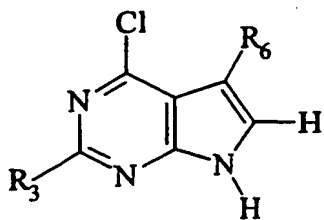
b) treating the product of step a) under cyclization conditions to



provide

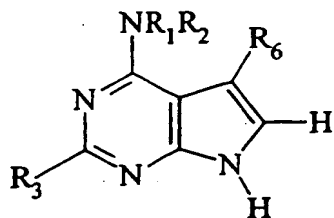
;

c) treating the product of step b) under suitable conditions to provide



; and

d) treating the chlorinated product of step c) with an amine to



provide

, wherein

- R_1 and R_2 are each independently a hydrogen atom or a substituted or unsubstituted alkyl, aryl, or alkylaryl moiety or together form a substituted or unsubstituted heterocyclic ring;
- 5 R_3 is a substituted or unsubstituted alkyl, aryl, or alkylaryl moiety; and
- R_6 is a halogen atom, a hydrogen atom or a substituted or unsubstituted alkyl, aryl, or alkylaryl moiety.